

10764167  
1076415.7 Page 1

Patel  
14/11/04  
1624

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NEWS 8 DEC 09 12 databases to be removed from STN on December 31, 2004  
  
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MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004  
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FILE 'HOME' ENTERED AT 08:30:17 ON 10 DEC 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 08:30:26 ON 10 DEC 2004

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Patel

<12/2/2004>

STRUCTURE FILE UPDATES: 8 DEC 2004 HIGHEST RN 795251-52-4  
 DICTIONARY FILE UPDATES: 8 DEC 2004 HIGHEST RN 795251-52-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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 conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
 information enter HELP PROP at an arrow prompt in the file or refer  
 to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

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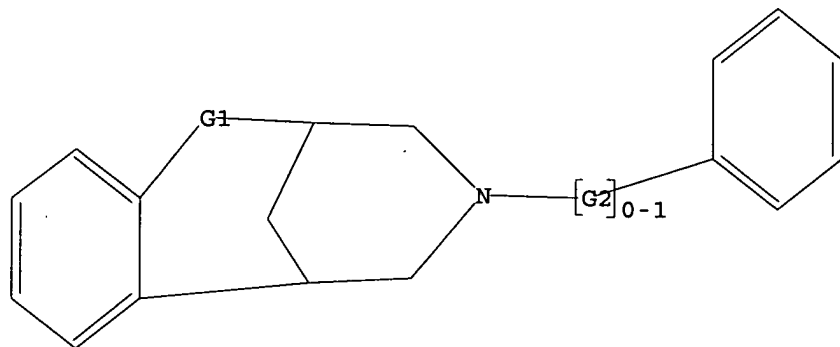
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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 CH2, CF2

G2 H, Me, CH2, Et, n-Pr, n-Bu

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 08:30:54 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 578205 TO ITERATE

69.2% PROCESSED 400000 ITERATIONS  
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
 SEARCH TIME: 00.00.03

27 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 578205 TO 578205  
 PROJECTED ANSWERS: 27 TO 57

L2 27 SEA SSS FUL L1

=&gt; file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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155.63

FILE 'CAPLUS' ENTERED AT 08:31:03 ON 10 DEC 2004

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FILE COVERS 1907 - 10 Dec 2004 VOL 141 ISS 25

FILE LAST UPDATED: 9 Dec 2004 (20041209/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L3 3 L2

=&gt; d l3 fbib hitstr abs total

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:356250 CAPLUS

DN 138:348736

TI Nicotinic acetylcholine receptor agonists in the treatment of restless legs syndrome

IN Saltarelli, Mario David

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003037329	A1	20030508	WO 2002-IB4379	20021021
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CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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OS MARPAT 138:348736

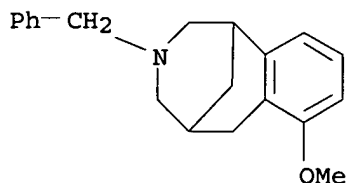
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 248276-28-0 248276-30-4 519165-33-4  
 519165-35-6 519165-36-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)

(nicotinic agonists for treatment of restless legs syndrome)

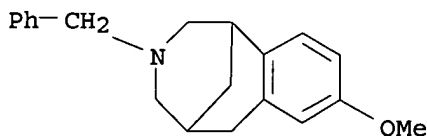
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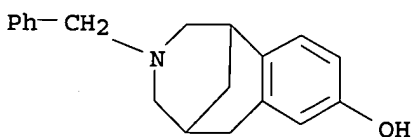
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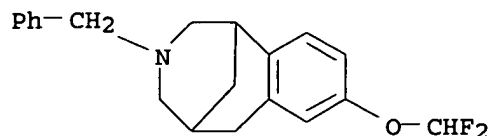
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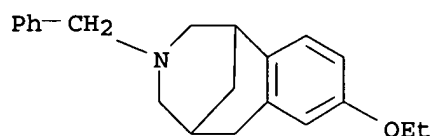
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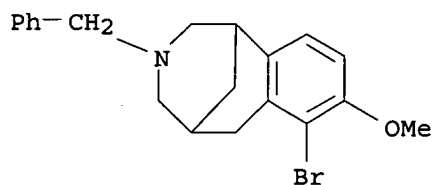
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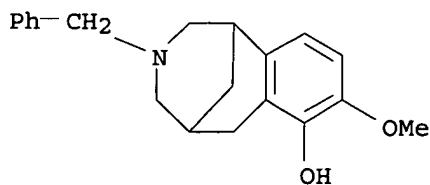
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CN 1,5-Methano-3-benzazocine, 7-bromo-1,2,3,4,5,6-hexahydro-8-methoxy-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



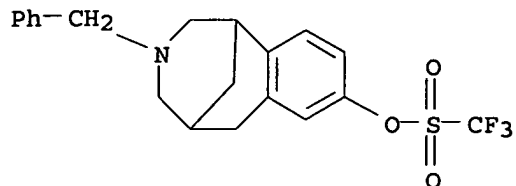
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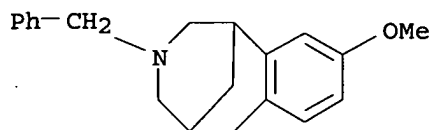


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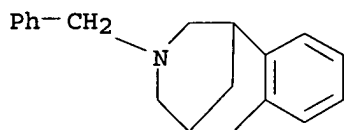
CN Methanesulfonic acid, trifluoro-, 1,2,3,4,5,6-hexahydro-3-(phenylmethyl)-1,5-methano-3-benzazocin-8-yl ester (9CI) (CA INDEX NAME)



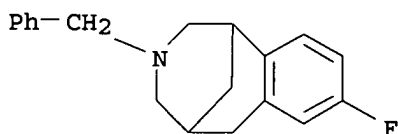
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 CN 1,5-Methano-3-benzazocine, 1,2,3,4,5,6-hexahydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 519165-36-7 CAPLUS  
 CN 1,5-Methano-3-benzazocine, 8-fluoro-1,2,3,4,5,6-hexahydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



AB The invention discloses the use of nicotinic acetylcholine receptor agonists for the treatment of restless legs syndrome (RLS). The invention further discloses the use of a nicotinic acetylcholine receptor agonist in the manufacture of a medicament for the treatment of RLS. The invention also discloses a pharmaceutical composition for the treatment of RLS containing a nicotinic acetylcholine receptor agonist.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1999:708745 CAPLUS  
 DN 131:322551  
 TI Aryl-fused azapolycyclic compounds as nicotine binding inhibitors  
 IN Coe, Jotham Wadsworth

PA Pfizer Products Inc., USA  
 SO PCT Int. Appl., 80 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

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PI	WO 9955680	A1	19991104	WO 1999-IB617	19990408
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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OS MARPAT 131:322551

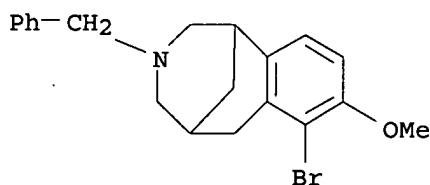
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(Br/OH exchange; preparation of aryl-fused azapolycyclic compds. as inhibitors of nicotine binding to specific receptor sites)

RN 248276-26-8 CAPLUS

CN 1,5-Methano-3-benzazocine, 7-bromo-1,2,3,4,5,6-hexahydro-8-methoxy-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



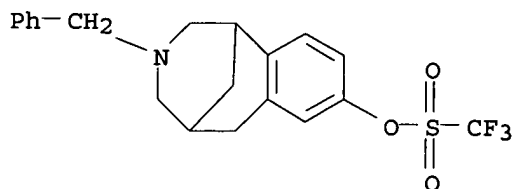
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(coupling reactions; preparation of aryl-fused azapolycyclic compds. as inhibitors of nicotine binding to specific receptor sites)

RN 248276-30-4 CAPLUS

CN Methanesulfonic acid, trifluoro-, 1,2,3,4,5,6-hexahydro-3-(phenylmethyl)-1,5-methano-3-benzazocin-8-yl ester (9CI) (CA INDEX NAME)



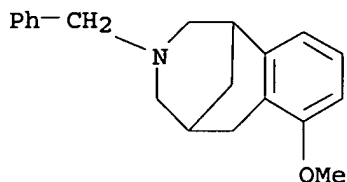


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 248276-23-5P 248276-28-0P 248276-34-8P  
 248276-36-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (debenzylation; preparation of aryl-fused azapolycyclic compds. as inhibitors of nicotine binding to specific receptor sites)

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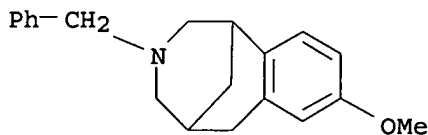
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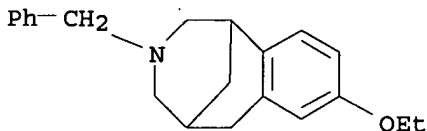
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● HCl

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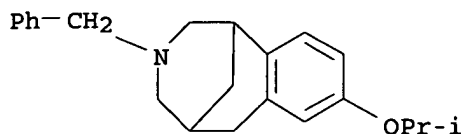
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● HCl

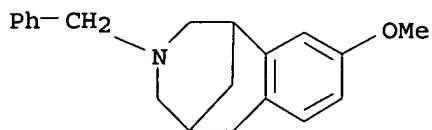
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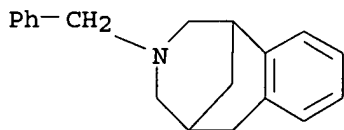
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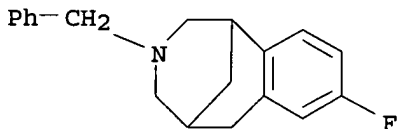
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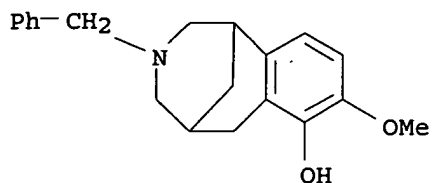
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● HCl

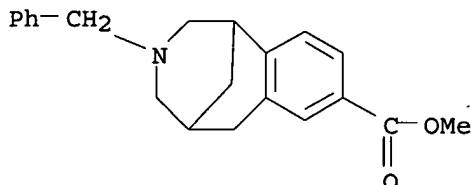
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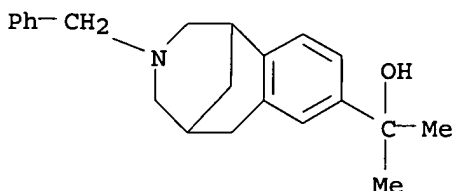
RN 248276-34-8 CAPLUS

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RN 248276-36-0 CAPLUS

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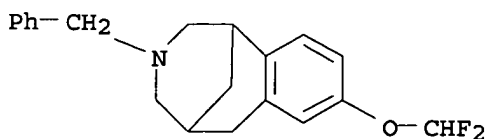


IT 248275-97-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(demethylation; preparation of aryl-fused azapolycyclic compds. as inhibitors of nicotine binding to specific receptor sites)

RN 248275-97-0 CAPLUS

CN 1,5-Methano-3-benzazocine, 8-(difluoromethoxy)-1,2,3,4,5,6-hexahydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



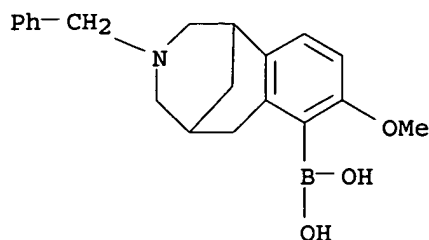
IT 248276-27-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(oxidation; preparation of aryl-fused azapolycyclic compds. as inhibitors of nicotine binding to specific receptor sites)

RN 248276-27-9 CAPLUS

CN Boronic acid, [1,2,3,4,5,6-hexahydro-8-methoxy-3-(phenylmethyl)-1,5-methano-3-benzazocin-7-yl]- (9CI) (CA INDEX NAME)



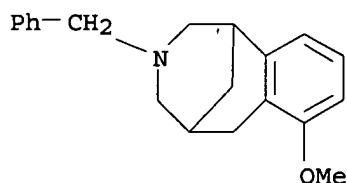
IT 248275-77-6P 248275-93-6P 248275-99-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl-fused azapolycyclic compds. as inhibitors of nicotine binding to specific receptor sites)

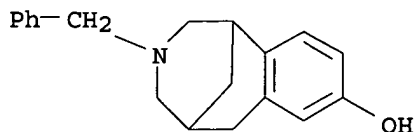
RN 248275-77-6 CAPLUS

CN 1,5-Methano-3-benzazocine, 1,2,3,4,5,6-hexahydro-7-methoxy-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 248275-93-6 CAPLUS

CN 1,5-Methano-3-benzazocin-8-ol, 1,2,3,4,5,6-hexahydro-3-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)

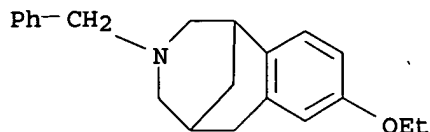


● HCl

RN 248275-99-2 CAPLUS

CN 1,5-Methano-3-benzazocine, 8-ethoxy-1,2,3,4,5,6-hexahydro-3-(phenylmethyl)-

(9CI) (CA INDEX NAME)



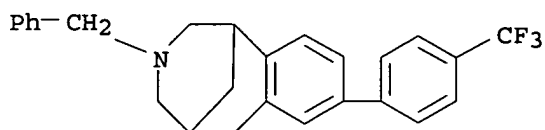
IT 248276-31-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aryl-fused azapolycyclic compds. as inhibitors of nicotine binding to specific receptor sites)

RN 248276-31-5 CAPLUS

CN 1,5-Methano-3-benzazocine, 1,2,3,4,5,6-hexahydro-3-(phenylmethyl)-8-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



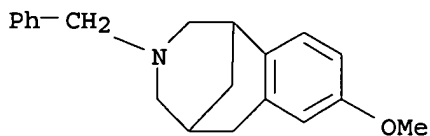
IT 248275-90-3P 248275-92-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(reactions; preparation of aryl-fused azapolycyclic compds. as inhibitors of nicotine binding to specific receptor sites)

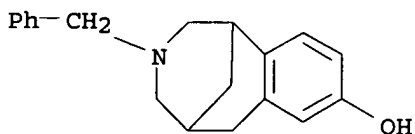
RN 248275-90-3 CAPLUS

CN 1,5-Methano-3-benzazocine, 1,2,3,4,5,6-hexahydro-8-methoxy-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

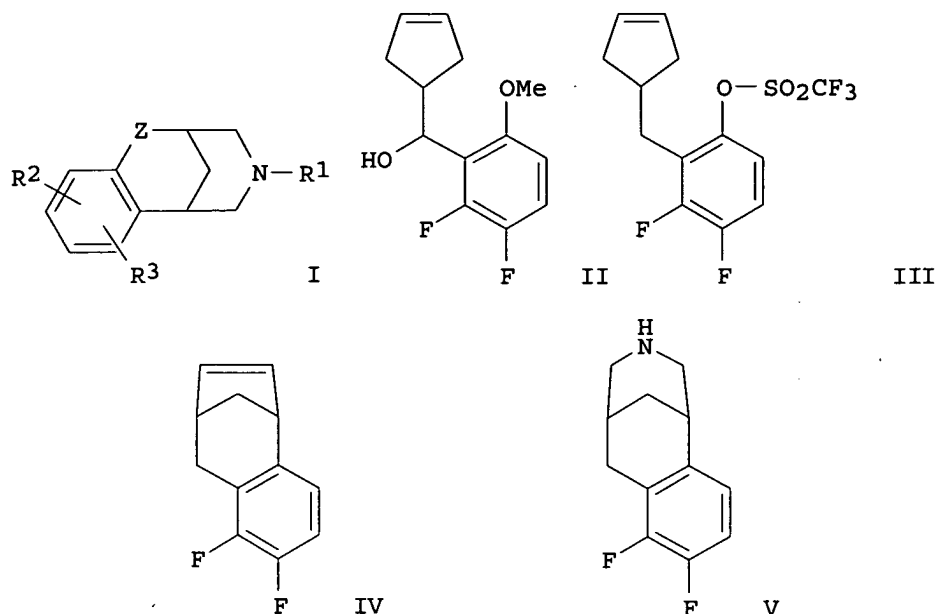


RN 248275-92-5 CAPLUS

CN 1,5-Methano-3-benzazocine, 1,2,3,4,5,6-hexahydro-3-(phenylmethyl)-8-ol, 1,2,3,4,5,6-hexahydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



GI



AB Compds. of formula (I) and their pharmaceutically acceptable salts, wherein: Z = CH<sub>2</sub>, CO, CF<sub>2</sub>; R<sub>1</sub> = e.g., H, C1-6-alkyl, unconjugated C3-6-alkenyl, benzyl; R<sub>2</sub>, R<sub>3</sub> are independently, e.g., H, C2-6-alkenyl, C2-6-alkynyl, hydroxy, nitro, amino, halo, cyano, were prepared as nicotine binding inhibitors (IC<sub>50</sub> < 10 μM). Thus, e.g., metalation/addition reaction of 1,2-difluoro-4-methoxybenzene with cyclopent-3-enecarboxaldehyde afforded the methanol II; reduction, demethylation, and sulfonylation afforded triflate III; Heck cyclization to IV was followed by osmylation/oxidation to the diol; the latter was converted to title compound V via oxidative cleavage/reductive amination.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:528299 CAPLUS

DN 127:109166

TI Synthesis of a New Tyrosine Analog Having  $\chi_1$  and  $\chi_2$  Angles  
Constrained to Values Observed for an SH2 Domain-Bound Phosphotyrosyl  
Residue

AU Ye, Bin; Yao, Zhu-Jun; Burke, Terrence R., Jr.

CS Laboratory of Medicinal Chemistry Division of Basic Sciences National  
Cancer Institute, National Institutes of Health, Bethesda, MD, 20892, USA

SO Journal of Organic Chemistry (1997), 62(16), 5428-5431

CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

OS CASREACT 127:109166

IT 192212-94-5P 192212-95-6P 192212-96-7P

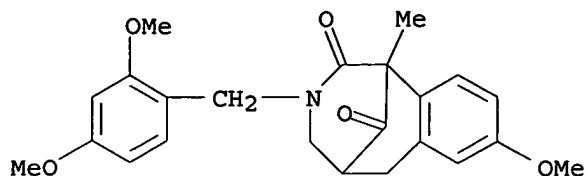
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of constrained tyrosine analog with  $\chi_1$  and  $\chi_2$  values close to SH2 domain-bound phosphotyrosyl residues)

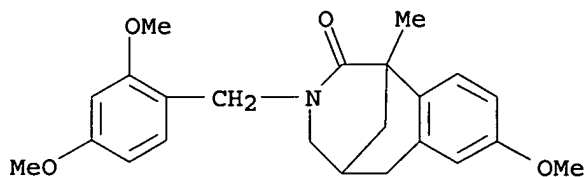
RN 192212-94-5 CAPLUS

CN 1,5-Methano-3-benzazocine-2,11(1H)-dione, 3-[(2,4-dimethoxyphenyl)methyl]-3,4,5,6-tetrahydro-8-methoxy-1-methyl- (9CI) (CA INDEX NAME)



RN 192212-95-6 CAPLUS

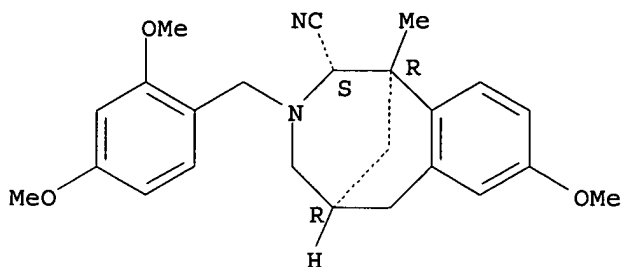
CN 1,5-Methano-3-benzazocin-2(1H)-one, 3-[(2,4-dimethoxyphenyl)methyl]-3,4,5,6-tetrahydro-8-methoxy-1-methyl- (9CI) (CA INDEX NAME)



RN 192212-96-7 CAPLUS

CN 1,5-Methano-3-benzazocine-2-carbonitrile, 3-[(2,4-dimethoxyphenyl)methyl]-1,2,3,4,5,6-hexahydro-8-methoxy-1-methyl-, (1 $\alpha$ ,2 $\alpha$ ,5 $\alpha$ )-(9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 192212-97-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of constrained tyrosine analog with  $\chi_1$  and  $\chi_2$  values close to SH2 domain-bound phosphotyrosyl residues)

RN 192212-97-8 CAPLUS

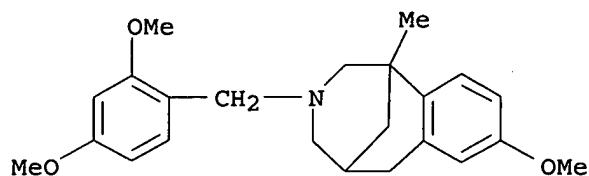
CN 1,5-Methano-3-benzazocine, 3-[(2,4-dimethoxyphenyl)methyl]-1,2,3,4,5,6-hexahydro-8-methoxy-1-methyl- (9CI) (CA INDEX NAME)

10764167

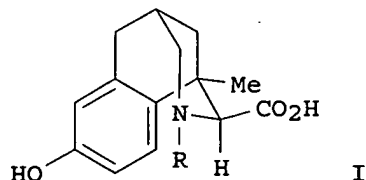
10169415.7

Page 16

Yndol  
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GI



I

AB Synthesis is reported of new tricyclic amino acid I ( $R = H$ ), which contains within its structure the elements of a tyrosine moiety having  $\chi_1$  and  $\chi_2$  angles ( $168^\circ$  and  $-95^\circ$ , resp.) constrained to values observed for a phosphotyrosyl (pTyr) residue bound to the 56lck SH2 domain ( $\chi_1$  and  $\chi_2$  values of  $163^\circ$  and  $-94^\circ$ , resp.). Addnl., the  $\phi$  angle of I ( $R = \text{acyl}$ ) correlates well with the  $\phi$  angle of the SH2 domain-bound pTyr residue. I ( $R = H$ ) represents a unique, highly constrained amino acid which may be of value in signal transduction studies.